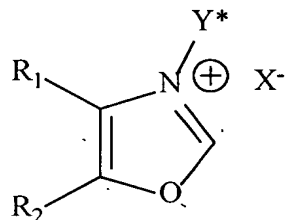


What is claimed:

1. A compound of formula II:



(II)

5 wherein

a. R<sup>1</sup> and R<sup>2</sup> are

1. independently selected from hydrogen, acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy, alkoxy carbonyl, alkoxy carbonylalkyl, alkyl, alkylamino, (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy, allyl, amino, ω-alkylenesulfonic acid, carbamoyl, carboxy, carboxyalkyl, cycloalkyl, dialkylamino, halo, hydroxy, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, mercapto, nitro, sulfamoyl, sulfonic acid, alkylsulfonyl, alkylsulfinyl, alkylthio, trifluoromethyl, azetidin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperidin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl, Ar {wherein, consistent with the rules of aromaticity, Ar is C<sub>6</sub> or C<sub>10</sub> aryl or a 5- or 6-membered heteroaryl ring, wherein 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom of O or S and zero to two atoms of N, each heteroaryl ring can be fused to a benzene, pyridine, pyrimidine, pyridazine, pyrazine, or (1,2,3)triazine (wherein the ring fusion is at a carbon-  
 10 carbon double bond of Ar)}, Ar-alkyl, Ar-O, ArSO<sub>2</sub>-, ArSO-, ArS-, ArSO<sub>2</sub>NH-, ArNH, (N-Ar)(N-alkyl)N-, ArC(O)-, ArC(O)NH-, ArNH-C(O)-, and (N-Ar)(N-alkyl)N-C(O)-, or together R<sub>1</sub> and R<sub>2</sub> comprise methylenedioxy; or
2. together with their ring carbons form a C<sub>6</sub>- or C<sub>10</sub>- aromatic fused ring system; or
3. together with their ring carbons form a C<sub>5</sub>-C<sub>7</sub> fused cycloalkyl ring having up to  
 15 two double bonds including any fused double bond of the oxazolium containing ring, which cycloalkyl ring can be substituted by one or more of the group consisting of alkyl, alkoxy carbonyl, amino, aminocarbonyl, carboxy, fluoro, or oxo substituents; or

25

10037447-123101

4. together with their ring carbons form a 5- or 6-membered heteroaryl ring, wherein the 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom of O or S and zero to two atoms of N, each heteroaryl ring may be optionally substituted with one or more 1-pyrrolidinyl-, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, azetidin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperidin-1-yl, halo or (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy groups; or
5. together with their ring carbons form a five to eight membered heterocycle, wherein the heterocycle consists of ring atoms selected from the group consisting of carbon, nitrogen, and S(O)<sub>n</sub>, where n=0,1, or 2;
- b. Y\* is a group of the formula -CH(R<sup>5</sup>)-R<sup>6</sup> wherein
- (a) R<sup>5</sup> is hydrogen, alkyl-, cycloalkyl-, alkenyl-, alkynyl-, aminoalkyl-, dialkylaminoalkyl-, (N-[C<sub>6</sub> or C<sub>10</sub>]aryl)(N-alkyl)aminoalkyl-, piperidin-1-ylalkyl-, pyrrolidin-1-ylalkyl, azetidinyllalkyl, 4-alkylpiperazin-1-ylalkyl, 4-alkylpiperidin-1-ylalkyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-ylalkyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-ylalkyl, azetidin-1-ylalkyl, morpholin-4-ylalkyl, thiomorpholin-4-ylalkyl, piperidin-1-ylalkyl, [C<sub>6</sub> or C<sub>10</sub>]aryl, or independently the same as R<sup>6</sup>;
- (b) R<sup>6</sup> is
- (1) cyano or R<sub>T</sub>, wherein R<sub>T</sub> is a C<sub>6</sub> or C<sub>10</sub> aryl;
- (2) a group of the formula -W-Rs, wherein W is -C(=O)- or -S(O)<sub>n</sub>- where n=1 or 2, and Rs is a C<sub>6</sub> or C<sub>10</sub> aryl or a heterocycle containing 4-10 ring atoms of which 1-3 are heteroatoms selected from the group consisting of oxygen, nitrogen and sulfur;
- (3) a group of the formula -W-N(R<sup>9</sup>)R<sup>10</sup>, wherein
- [a] R<sup>9</sup> is hydrogen and R<sup>10</sup> is an alkyl or cycloalkyl, optionally substituted by
- (i) [C<sub>6</sub> or C<sub>10</sub>]aryl, or
- (ii) a 5- or 6-membered heteroaryl ring, wherein the 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom of O or S and zero to two atoms of N, said heteroaryl ring can be optionally substituted with one or more 1-pyrrolidinyl,

10037447-123101

4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, azetidin-1-yl, and morpholin-4-yl, thiomorpholin-4-yl, piperidin-1-yl, halo or (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy groups, or fused to a phenyl or pyridine ring, wherein the ring fusion is at a carbon-carbon double bond of the heteroaryl ring, or

(iii) a heterocycle containing 4-10 ring atoms of which 1-3 are heteroatoms selected from the group consisting of oxygen, nitrogen and sulfur; or

[b] R<sup>9</sup> is hydrogen or lower alkyl and R<sup>10</sup> is Ar; or

[c] R<sup>9</sup> is hydrogen or lower alkyl, and R<sup>10</sup> is a heterocycle containing 4-10 ring atoms of which 1-3 are heteroatoms are selected from the group consisting of oxygen, nitrogen and sulfur; or

[d] R<sup>9</sup> and R<sup>10</sup> are both alkyl groups; or

[e] R<sup>9</sup> and R<sup>10</sup> together with N form a heterocycle containing 4-10 ring atoms which can incorporate up to one additional heteroatom selected from the group of N, O or S in the ring, wherein the heterocycle is optionally substituted with (C<sub>6</sub>-or C<sub>10</sub>)aryl, (C<sub>6</sub>-or C<sub>10</sub>)arylalkyl, or a 5- or 6-membered heteroaryl ring, wherein the 6-membered heteroaryl ring contains one to three atoms of N, and the 5-membered heteroaryl ring contains from one to three atoms of N or one atom of O or S and zero to two atoms of N, each such heteroaryl can be optionally substituted with one or more 1-pyrrolidinyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, azetidin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperidin-1-yl, halo or (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy; or

[f] R<sup>9</sup> and R<sup>10</sup> are both hydrogen; and

c. X is a pharmaceutically acceptable anion, or

(B) a pharmaceutically acceptable salt of the compound,

wherein aryl or Ar can be substituted with, in addition to any substitutions specifically

noted, one or more general substituents selected from the group consisting of acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy, alkoxy carbonyl, alkoxy carbonylalkyl, alkyl, alkylamino, (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy, alkylsulfonyl, alkylsulfinyl, ω-alkylenesulfonic acid, alkylthio, allyl, amino,

ArC(O)-, ArC(O)NH-, ArO-, Ar-, Ar-alkyl-, carboxy, carboxyalkyl, cycloalkyl, dialkylamino, halo, trifluoromethyl, hydroxy, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, mercapto, nitro, sulfamoyl, sulfonic acid, 1-pyrrolidinyl, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperazin-1-yl-, 4-[C<sub>6</sub> or C<sub>10</sub>]arylpiperidin-1-yl, azetidin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperidin-1-yl;

wherein heterocycles, except those of Ar, can be substituted with, in addition to any substitutions specifically noted, the following general substitutions: acylamino, alkanoyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylamino, alkylsulfonyl, alkylsulfinyl, alkylthio, amino, ArC(O)-, ArO-, Ar-, carboxy, dialkylamino, fluoro, fluoroalkyl, difluoroalkyl, hydroxy, mercapto, sulfamoyl, or trifluoromethyl;

wherein the compound of formula II differs from a salt of 3-[2-(3,5-dimethoxyphenyl)-2-oxoethyl]-oxazolium by one or more of the lack or replacement of one of the methoxy substitutions, or the presence of one or more additional substitutions; and

wherein the compound of formula II differs from a salt of 5-phenyl-3-phenylmethyl-oxazolium by one or more of the lack or replacement of the 5-phenyl substitution, or the presence of one or more additional substitutions.

2. The compound of claim 1, wherein Y\* is according to formula -CH(R<sup>5</sup>)-W-Rs.

3. The compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> together with their ring carbons form a C<sub>6</sub>- or C<sub>10</sub>- aromatic fused ring which can be substituted by one or more halo, amino, alkyl, sulfonic acid, alkylsulfonyl or ω-alkylenesulfonic acid groups, or a C<sub>1</sub>-C<sub>3</sub> alkylenedioxy group with the proviso that when Q is nitrogen R<sup>1</sup> and R<sup>2</sup> do not form a C<sub>6</sub> fused aromatic ring.

4. The compound of Claim 1, wherein Ar is C<sub>6</sub> or C<sub>10</sub> aryl

5. The compound of claim 1, wherein  
a. R<sup>1</sup> and R<sup>2</sup> are

1. independently selected from hydrogen, acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, (C<sub>1</sub>-

- C<sub>3</sub>)alkylenedioxy, allyl, ω-alkylenesulfonic acid, carbamoyl, carboxy, carboxyalkyl, cycloalkyl, halo, hydroxy, (C<sub>2</sub>-C<sub>6</sub>)hydroxyalkyl, mercapto, nitro, sulfamoyl, sulfonic acid, alkylsulfonyl, alkylsulfinyl, alkylthio, trifluoromethyl, Ar (wherein Ar is not heteroaryl fused to pyridine), Ar-alkyl, Ar-O, ArSO<sub>2</sub>-, ArSO-, ArS-, ArSO<sub>2</sub>NH-, ArNH, (N-Ar)(N-alkyl)N-, ArC(O)-, ArC(O)NH-, ArNH-C(O)-, and (N-Ar)(N-alkyl)N-C(O)-; or
2. together with their ring carbons form a C<sub>6</sub>- or C<sub>10</sub>- aromatic fused ring system; or
  3. together with their ring carbons form a C<sub>5</sub>-C<sub>7</sub> fused cycloalkyl ring which cycloalkyl ring can be substituted by one or more of the group consisting of alkyl, alkoxy carbonyl, aminocarbonyl, carboxy, fluoro, or oxo substituents; or
  4. together with their ring carbons form a 5- or 6-membered heteroaryl ring, wherein each heteroaryl ring may, in addition to the general substitutions, be optionally substituted with one or more halo or (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy groups; or
  5. together with their ring carbons form a five to eight membered heterocycle;
- b. Y is a group of the formula -CH(R<sup>5</sup>)-R<sup>6</sup> wherein
- (a) R<sup>5</sup> is hydrogen or alkyl;
  - (b) R<sup>6</sup> is
    - (1) cyano;
    - (2) a group of the formula -W-Rs, wherein W is -C(=O)- or -S(O)<sub>n</sub>- where n=1 or 2;
    - (3) a group of the formula -W-N(R<sup>9</sup>)R<sup>10</sup>, wherein
      - [a] R<sup>9</sup> is hydrogen and R<sup>10</sup> is an alkyl or cycloalkyl, optionally substituted by
        - (i) [C<sub>6</sub> or C<sub>10</sub>]aryl, or
        - (ii) a 5- or 6-membered heteroaryl ring, wherein said heteroaryl ring can, in addition to the general substitutions, be optionally substituted with one or more halo or (C<sub>1</sub>-C<sub>3</sub>)alkylenedioxy groups, or fused to a substituted phenyl, or
        - (iii) a heterocycle containing 4-10 ring atoms; or
      - [b] R<sup>9</sup> is hydrogen or lower alkyl and R<sup>10</sup> is Ar; or

[c]  $R^9$  is hydrogen or lower alkyl, and  $R^{10}$  is a heterocycle containing 4-10 ring atoms of which 1-3 are heteroatoms are selected from the group consisting of oxygen, nitrogen and sulfur, said heterocycle; or

[d]  $R^9$  and  $R^{10}$  are both alkyl groups; or

5 [e]  $R^9$  and  $R^{10}$  together with N form a heterocycle, wherein each heteroaryl substituted thereon can, in addition to the general substitutions, be optionally substituted with one or more halo or  $(C_1-C_3)$ alkylenedioxy; or

[f]  $R^9$  and  $R^{10}$  are both hydrogen; and

10 g. X is a pharmaceutically acceptable anion, or

(B) a pharmaceutically acceptable salt of the compound,

wherein aryl or Ar can be substituted with, in addition to any substitutions specifically

noted, one or more general substituents selected from the group consisting of acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy,

15 alkoxycarbonyl, alkoxycarbonylalkyl, alkyl,  $(C_1-C_3)$ alkylenedioxy, alkylsulfonyl, alkylsulfinyl,  $\omega$ -alkylenesulfonic acid, alkylthio, allyl,  $ArC(O)-$ ,  $ArC(O)NH-$ ,  $ArO-$ , Ar-, Ar-alkyl-, carboxy, carboxyalkyl, cycloalkyl, halo, trifluoromethyl, hydroxy,  $(C_2-C_6)$ hydroxyalkyl, mercapto, nitro, sulfamoyl, sulfonic acid; and

20 wherein heterocycles, except those of Ar, can be substituted with, in addition to any substitutions specifically noted, the following general substitutions: acylamino, alkanoyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylsulfonyl, alkylsulfinyl, alkylthio,  $ArC(O)-$ ,  $ArO-$ , Ar-, carboxy, fluoro, fluoroalkyl, difluoroalkyl, hydroxy, mercapto, sulfamoyl, or trifluoromethyl.

25 7. The compound of claim 4, wherein  $Y^*$  is according to formula  $-CH(R^5)-W-R_s$ .

8. A pharmaceutical composition comprising:  
a compound of one of claims 1 to 7 and  
a pharmaceutically acceptable excipient.

30 9. A method of treating or ameliorating an indication of the invention with a pharmaceutically effective amount of a compound of one of claims 1 to 7.

10037447-123101

Rule  
126

*Rule  
12b*

*9*  
~~10.~~

Use of a compound of one of claims 1 to 7 in the manufacture of a medicament for treating or ameliorating an indication of the invention.

*10*  
~~11.~~

5 Use of a compound of one of claims 1 to 7 for treating or ameliorating an indication of the invention.

10037447-123404  
" 2442001"